IN THE CLAIMS

Kindly replace the prior claims listing by the following listing:

1-17: (cancelled).

18. (currently amended): A method for the manufacture of pharmaceuticals or of a compound of the formula II defined below,

comprising a method for the manufacture of amides of the formula II,

$$(R_1)_n$$
 R_2
 R_3
 R_4
 (III)

wherein n is a number from 0 to 4,

each R₁ is, independently of the other substituents R₁, unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocyclyl, alkylsulfonyl, sulfonyl alkyl, N-mono- or N,N-disubstituted aminosulfonyl alkyl, hydroxy, mercapto, nitro, halogen, cyano, carboxamido, N-mono- or N,N-disubstituted carboxamido, carboxhydrazido, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted or substituted alkenyl, unsubstituted or substituted alkynyl, unsubstituted or substituted cycloalkyl, alkanoyloxy, N-mono- or N,N-disubstituted or unsubstituted amino, unsubstituted or substituted hydrazino, or is a residue of a boronic acid or an ester thereof;

R2 is hydrogen or unsubstituted or substituted alkyl, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

R' is unsubstituted or substituted alkyl-

and R3 and R4 are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge (thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a C₃-C₈-cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge

where a starting material of the formula III,

$$(R_1)_n \xrightarrow{HO}_{N} O - HNB^+$$
(III)

wherein n, R₁ and R2 have the meanings given under formula II and NB is a tertiary nitrogen base where the nitrogen is not part of a ring,

is reacted

(b) with an active amido carbonic acid derivative of the formula V,

$$X \longrightarrow R3$$
 (V)

wherein X is halogen and R3 and R4 are as defined under formula II, to give the corresponding compound of the formula II,

and further comprising reducing the indolone moiety in compound of the formula II in the presence of a complex hydride.

19. (previously presented): The method according to claim 18 wherein as reductant a borane di-lower alkyl sulfide is used, resulting in the formation of the corresponding indole of the formula XII

$$(R_1)_n$$
 R_2
 R_4
 (XII)

wherein the symbols and moieties are as defined in claim 18.

20. (currently amended): A compound of the formula XII

$$(R_1)_n$$
 R_2
 R_4
 R_4
 (XII)

wherein n is a number from 1 to 4,

R₁, <u>and R2</u>, R3 and R4 are as defined for formula II in claim 18, provided that R₁ is not alkoxy which is unsubstituted or substituted <u>and</u>

R3 and R4 are, independently of each other, unsubstituted or substituted alkyl or an alkylene bridge to which a phenyl or a C₃-C₈-cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge.

21. (previously presented): The method according to claim 18 where reaction of the compound of the formula II takes place in the presence of an alkali metal borohydride and a boron trifluoride etherate, yielding a mixture containing compounds of the formulae XIIIa, XIIIb and XIIIc,

$$(R_1)_n$$
 R_2
 R_4
 R_4

$$(R_1)_n$$
 R_2 R_4 R_4

$$(R_1)_n \xrightarrow{BH_3} (XIIIc)$$

wherein n, R₁, R2, R3 and R4 are as defined in claim 18 for the starting compounds of the formula II.

22. (original): A process according to claim 21, further comprising the conversion of the mixture of compounds XIIIa, XIIIb and XIIIc into a compound of the formula XIV

$$(R_1)_n$$
 R_2
 R_4
 R_4
 (XIV)

wherein n, R₁, R2, R3 and R4 are as defined under formula XIIIa, XIIIb and XIIIc in claim 21, by reaction with diazabicyclo[2.2.2]octane and subsequent dehydrogenation or oxidation with an oxidant.

23. (currently amended): A compound of the formula XIV

$$(R_1)_n$$
 R_2 R_4 R_4 R_4 R_4

wherein n is a number from 1 to 4, R2, R3 and R4 are as defined in claim 18 and R_1 is a residue of a boronic acid or ester thereof, lower alkyl, lower alkyl substituted by up to three moieties selected from N,N-di-lower acylamino and N-lower acylamino, C_3 - C_{10} -cycloalkyl, C_3 - C_4 alkoxy, halogen, lower alkanoyloxy, unsubstituted or substituted aryl, unsubstituted or lower alkyl substituted and/or mono- or di-oxosubstituted nitrogen-heterocyclenyl or nitrogen-heterocyclyl, sulfonyl alkyl, mercapto, C_2 - C_8 alkanoyl, unsubstituted or substituted alkenyl, or unsubstituted or substituted alkynyl, or a salt thereof; and

R2 is unsubstituted or substituted alkyl, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted or substituted aryl, unsubstituted or substituted alkylsulfonyl, unsubstituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl.

24. (previously presented): A method according to claim 22, wherein the compound of the formula XIV

$$(R_1)_n$$
 R_2 R_4 R_4 R_4 R_4

or of the formula XII,
$$(R_1)_n$$
 (XII)

where n, R₁, R₃ and R₄ are as defined in claim 22 and R2 is hydrogen, respectively, further is converted by introduction of a moiety R2 which is unsubstituted or substituted alkyl, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted aryl, carbamoyl, N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted

10/539,151 - 6 - VT/93-22814/A/PCT

alkyl and substituted or unsubstituted aryl, or acyl; wherein unsubstituted or substituted alkyl is introduced by reaction with a strong base with a corresponding unsubstituted or substituted alkyl derivative of the formula XV,

wherein Alk is unsubstituted or substituted alkyl, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted aryl, carbamoyl, N-mono- or N,N-disubstituted carbamoyl, and L is a leaving group, to give the corresponding compound of the formula XII or XIV wherein R2 is unsubstituted or substituted alkyl; or acyl is introduced by reaction with the corresponding acylhalogenides or mixed or symmetrical acid anhydrides with one or two of the corresponding acyl moieties; or the silyl derivatives are introduced using the corresponding silylhalogenides, respectively.

25-30. (cancelled).

31. (previously presented): A process for the reaction of a compound of the formula XIV as defined in claim 22 where n is 1 and R1 is halogen, comprising converting it into the corresponding compound of the formula XX⁶,

wherein R2, R3 and R4 are as defined for the compound of the formula XIV, by reaction with first a lithium alkyl compound to form the lithio derivative and then with DMF or triethyl formate, to obtain the compound of the formula XX⁶ after hydrolysis.

32. (previously presented): A compound of the formula XIV⁵

$$R_5OOC$$
 N
 R_7OOC
 R_7OOC

or of the formula XX⁶

10/539,151 - 7 - VT/93-22814/A/PCT

wherein R2, R3, and R4 are as defined in claim [[1]]18 for formula II, provided that one of R3 or R4 is not methyl and R3 and R4 together are not phthalyl, and R₅ is unsubstituted or substituted alkyl or unsubstituted or substituted aryl, or a salt thereof.

33. (previously presented): A process for the manufacture of a compound of the formula XXI**

$$H_{2}$$
 C
 N
 R_{2}
 R_{4}
 R_{4}
 R_{2}
 R_{4}
 R_{4}
 R_{2}
 R_{4}
 R_{4}
 R_{4}

wherein R2, R3 and R4 have the meanings indicated for compounds of the formula XX⁶ in claim 31, by reduction of the aldehyde carbonyl in the compound of formula XX⁶ in the presence of a selective transition metal catalyst.

34. (previously presented): A process for the manufacture of a compound of the formula XXI*,

$$R3$$
 N
 $R2$
 $R4$
 $R3$
 $(XXI*)$

wherein R2, R3 and R4 have the meanings indicated for compounds of the formula XX⁶ in claim 31, by conversion of a compound of the formula XX⁶ as defined in claim 31 into the corresponding compound of the formula XXI* by reaction with a Wittig or Wittig Horner reagent in the presence of a suitable base.

35. (previously presented): A process for the reaction of a compound of the formula XIV as defined in claim 22 where n is 1 and R_1 is halogen, comprising converting it into the corresponding compound of the formulae XX^7 ,

$$R5$$
 $R5$
 $R5$
 $R5$
 $R4$
 $R5$
 $R4$
 $R5$
 $R4$
 $R5$
 $R4$
 $R5$

wherein R2, R3 and R4 are as defined for the compound of the formula XIV, and each of R5 independently is hydroxy or an alkoxy residue of a lower alcohol, or the 2 residues R5 together are C₂-C₈alkylene-dioxy,

by reaction with first a lithium alkyl compound to form the lithio derivative, and then with an ester of boric acid B,

wherein each of R5 and R6 independently is an alkoxy residue of a lower alcohol, or the 2 residues R5 together are C₂-C₈alkylene-dioxy,

and subsequent hydrolysis, to obtain the compound of the formula XX7.

36. (currently amended): A compound of the formulae XIIIa, XVI, II², XII², XIV², II³, XII³, XIV³, II⁴, XII⁴, XIV⁴, II⁵, XII⁵, XXI* or XXI**

- '':

$$Z \xrightarrow{HO} \underset{R2}{\stackrel{O}{\bigvee}} \underset{R4}{\stackrel{R3}{\bigvee}} \underset{(II^2),}{\stackrel{C}{\bigvee}} Z \xrightarrow{\stackrel{O}{\bigvee}} \underset{R2}{\stackrel{R3}{\bigvee}} \underset{R4}{\stackrel{(XII^2),}}$$

$$Z^*$$
 R_2
 R_4
 R_4

$$Z^*$$
 R_2
 R_4
 R_4

NC
$$R3$$
 (XII^4) , NC $R2$ $R4$ (XIV^4) , $R2$ $R4$

$$R_5OOC$$
 R_2
 R_4
 R_5OOC
 R_5OOC

R3
$$(XXI^*)$$
, HO R3 (XXI^{**}) or R5 R4 (XXI^*) , R3 (XXI^{**}) or R5 R2 (XXI^{**}) (XXI^{*}) (XXI^{**}) (XXI^{**}) (XXI^{**}) (XXI^{**}) $(XXI^{*}$

wherein

n is a number from 0 to 4,

each R₁ is, independently of the other substituents R₁, unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocyclyl, alkylsulfonyl, sulfonyl alkyl, N-mono- or N,N-disubstituted or unsubstituted aminosulfonyl alkyl, hydroxy, mercapto, halogen, cyano, carboxamido, N-mono- or N,N-disubstituted carboxamido, carboxhydrazido, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted or substituted alkynyl, unsubstituted or substituted or subs

R2 is hydrogen or unsubstituted or substituted alkyl, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

R3 and R4 are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge (thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a C₃-C₈-cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge,

Hal is nitro or halogen,

Z is unsubstituted or substituted alkyl,

Z* is unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, (Y)₂N-sulfonyl wherein each Y, independently of

10/539,151 - 11 - VT/93-22814/A/PCT

the other, is hydrogen or unsubstituted or substituted alkyl; or Z* is alkoxycarbonyl, cyano or unsubstituted or substituted heterocyclyl, and

R₅ is unsubstituted or substituted alkyl, or unsubstituted or substituted aryl, or a salt thereof.

37-40. (cancelled).

41. (currently amended) A compound of the formulae II¹ or, XII¹, or XIV¹

$$(R_1)_n$$
 $(R_1)_n$ $(R_1)_n$ $(R_1)_n$ $(R_1)_n$ $(R_1)_n$ $(R_1)_n$ $(R_2)_n$ $(R_3)_n$ $(R_4)_n$ $(R_4$

wherein

n is 1 or 2,

each R₁ is, independently of the other substituents R₁, unsubstituted or substituted aryl; or R₁ is substituted heterocyclyl selected from the group consisting of unsubstituted or oxo- and/or lower alkyl-substituted imidazolidinyl, thienyl, oxazolidonyl and pyrrolidinyl;

R2 is hydrogen or unsubstituted or substituted alkyl, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

R3 and R4 are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge (thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a C₃-C₈-cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge, or a salt thereof.

42. (new) A compound of the formulae XIV¹

$$(R_1)_n$$
 R_2
 R_3
 $(XIV^1)_n$

wherein

n is 1 or 2,

each R₁ is, independently of the other substituents R₁, unsubstituted or substituted aryl; or R₁ is substituted heterocyclyl selected from the group consisting of unsubstituted or oxo- and/or lower alkyl-substituted imidazolidinyl, thienyl, oxazolidonyl and pyrrolidinyl;

R2 is unsubstituted or substituted alkyl, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

R3 and R4 are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge (thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a C₃-C₈-cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge, or a salt thereof.

43. (new): A compound of the formula XIIIa

$$(R_1)_n$$
 R_2
 R_3
 R_4
 R_4
 R_4
 R_4
 R_4
 R_4
 R_4
 R_4
 R_4
 R_4

wherein

n is a number from 0 to 4,

each R₁ is, independently of the other substituents R₁, unsubstituted or substituted alkyl, unsubstituted or substituted aryl, unsubstituted or substituted heterocyclyl, alkylsulfonyl, sulfonyl alkyl, N-mono- or

10/539,151 - 13 - VT/93-22814/A/PCT

N,N-disubstituted or unsubstituted aminosulfonyl alkyl, hydroxy, mercapto, halogen, cyano, carboxamido, N-mono- or N,N-disubstituted carboxamido, carboxhydrazido, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted alkoxy, formyl or other alkanoyl, unsubstituted or substituted alkynyl, unsubstituted or substituted hydrazino, or is a residue of a boronic acid or an ester thereof;

R2 is unsubstituted or substituted alkyl, unsubstituted or substituted alkoxycarbonyl, unsubstituted or substituted arylsulfonyl, unsubstituted or substituted alkylsulfonyl, unsubstituted or substituted aryl, carbamoyl or N-mono- or N,N-disubstituted carbamoyl, silyl substituted by three moieties independently selected from unsubstituted or substituted alkyl and substituted or unsubstituted aryl, or acyl, and

R3 and R4 are, independently of each other, unsubstituted or substituted alkyl or together form an unsubstituted or substituted alkylene bridge (thus forming a ring with the binding nitrogen) or an alkylene bridge to which a phenyl or a C₃-C₈-cycloalkyl ring is condensed at two vicinal carbon atoms of the alkylene bridge.

10/539,151 - 14 - VT/93-22814/A/PCT